

10/823,372

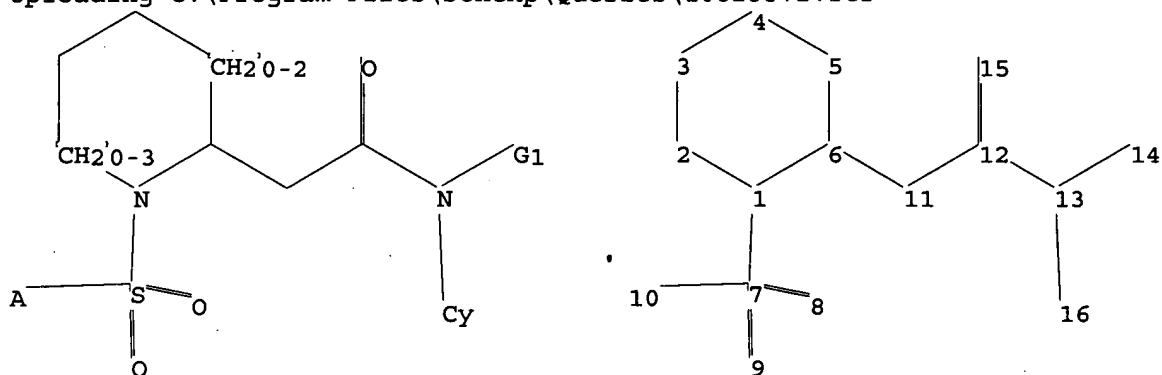
* * * * * STN Columbus * * * * *

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Uploading C:\Program Files\Stnexp\Queries\10823372.str



chain nodes :

7 8 9 11 12 13 14 15 16

ring nodes :

1 2 3 4 5 6

ring/chain nodes :

10

chain bonds :

1-7 6-11 7-8 7-9 7-10 11-12 12-13 12-15 13-14 13-16

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6

exact/norm bonds :

1-2 1-6 1-7 2-3 3-4 4-5 5-6 7-8 7-9 7-10 12-13 12-15 13-14 13-16

exact bonds :

6-11 11-12

G1:H,Cy,Ak

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:Atom

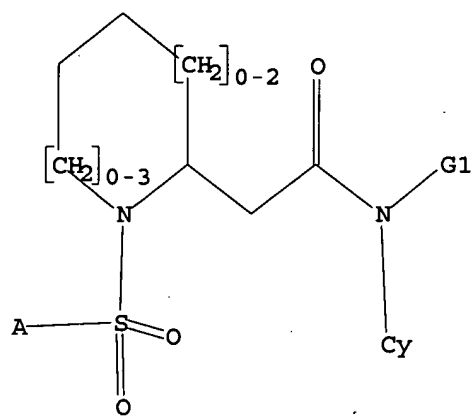
L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

10/823,372



G₁ H, Cy, Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full
L3 106 SEA SSS FUL L1

=> file ca

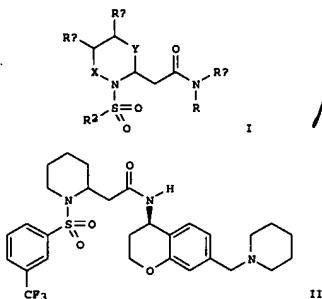
=> s l3
L4 3 L3

=> d ibib abs fhitr 1-3

L4 ANSWER 1 OF 3 CA COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 143:405810 CA
 TITLE: Preparation of cyclic amine derivatives as bradykinin antagonists and their use in the treatment of pain and inflammation
 INVENTOR(S): Groneberg, Robert D.; Zhan, James; Askew, Benny C.; D'Amico, Derin C.; Han, Nianhe; Potsch, Christopher H.; Liu, Qingyan; Rishi, Babak; Zhu, Jiewang; Yang, Kevin; Chen, Jian Jeffrey; Nomak, Rana
 PATENT ASSIGNEE(S): Amgen Inc., USA; Array Biopharma, Inc.
 SOURCE: U.S. Pat. Appl. Publ., 107 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005234044	A1	20051020	US 2004-823372	20040413
PRIORITY APPL. INFO.:			US 2004-823372	20040413

OTHER SOURCE(S): MARPAT 143:405810
 GI



This Application

AB Title compds. I [wherein X = (CH₂)_q; Y = (CH₂)_t; q = 0-3; t = 0-2; when t = 2, q is not 3; R = 9-11-membered fused bicyclic carbocyclic or heterocyclic ring substituted with 1 to 3 basic moieties, and optionally

L4 ANSWER 2 OF 3 CA COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 141:379814 CA
 TITLE: Preparation of cyclic amine derivatives as bradykinin antagonists and their use in the treatment of pain and inflammation
 INVENTOR(S): Groneberg, Robert D.; Zhan, James; Askew, Ben; D'Amico, Derin; Han, Nianhe; Potsch, Christopher H.; Liu, Qinglan; Rishi, Babak; Zhu, Jiewang; Yang, Kevin;
 PATENT ASSIGNEE(S): Amgen, Inc., USA; Array Biopharma, Inc.
 SOURCE: PCT Int. Appl., 261 pp.
 CODEN: P1XXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004092164	A1	20041028	WO 2004-US11670	20040412
W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CY, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HK, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, RW, BW, GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CO, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2522084	AA	20041028	CA 2004-2522084	20040412
EP 1633743	A1	20060315	EP 2004-759563	20040412
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
PRIORITY APPL. INFO.:			US 2003-461673P	P 20030410
			WO 2004-US11670	W 20040412

OTHER SOURCE(S): MARPAT 141:379814
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein X = (CH₂)_q; Y = (CH₂)_t; q = 0-3; t = 0-2; when t = 2, q is not 3; R = 9-11-membered fused bicyclic carbocyclic or heterocyclic ring substituted with 1 to 3 basic moieties, and optionally substituted with 1 to 3 groups independently selected from halo, OH, CN, oxo, alkoxy etc.; R₂ = (un)substituted arylalkenyl, aryl, heterocyclyl selected from thienyl, imidazolyl, and benzofused heteroaryl; R_a = independently H, alkyl, and aryl optionally substituted with 1 to 3 groups independently selected from halo, OH, CN, alkylamino, alk(en/yn)yl, etc.; R_b = independently H, oxo, OH, benzyloxy, Cl-2-alkyl; R_c = independently H, alkyl, or RbCCRC = 6-membered heteroaryl optionally substituted with

L4 ANSWER 1 OF 3 CA COPYRIGHT 2006 ACS on STN (Continued)
 substituted with 1 to 3 groups independently selected from NH₂, OH, CN, oxo, alkoxy etc.; R₂ = (un)substituted arylalkenyl, aryl, heterocyclyl selected from thienyl, imidazolyl, and benzo-fused heteroaryl; R_a = independently H, alkyl, and aryl optionally substituted with 1 to 3 groups

1 to 3 groups independently selected from halo, OH, CN, CF₃, oxo, alkoxy, alkylamino, alkenyl, etc.; and their pharmaceutically acceptable salts] were prepd. as bradykinin antagonists. Seven biol. tests are given. For example, II=HCl was prepd. by reductive amination of

N-((R)-7-formylchroman-4-yl)-2-[[1-(3-trifluoromethylbenzenesulfonyl)piperidin-2-yl]acetamide (prepn. given) with piperidine in N,N-dimethylacetamide

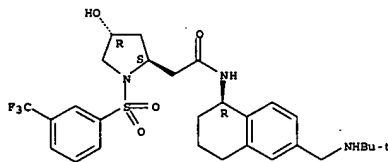
in the presence of NaBH(OAc)₃. Selected I bound to hB1 bradykinin receptor with IC₅₀ values < 100 nm in an in vitro assay using calcium flux. Thus, I are useful for prophylaxis and treatment of diseases and other maladies or conditions involving pain, inflammation mediated by Bradykinin.

IT 783239-90-7P, N-[(1R)-6-[[1-(1,1-dimethylethyl)amino]methyl]-1,2,3,4-tetrahydro-1-naphthalenyl]-2-[[2S,4R]-4-hydroxy-1-[[3-(trifluoromethyl)phenyl]sulfonyl]-2-pyrrolidinyl]acetamide
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (bradykinin antagonist; preparation of cyclic amine derivs. as bradykinin

antagonists and their use in treatment of pain and inflammation)

RN 783239-90-7 CA
 CN 2-Pyrrolidineacetamide, N-[(1R)-6-[[1-(1,1-dimethylethyl)amino]methyl]-1,2,3,4-tetrahydro-1-naphthalenyl]-4-hydroxy-1-[[3-(trifluoromethyl)phenyl]sulfonyl]-, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 2 OF 3 CA COPYRIGHT 2006 ACS on STN (Continued)
 to 3 groups independently selected from halo, OH, CN, CF₃, oxo, alkoxy, alkylamino, alkenyl, etc.; and their pharmaceutically acceptable salts] were prepd. as bradykinin antagonists. Seven biol. tests are given. For example, II=HCl was prepd. by reductive amination of aldehyde III (prepn. given) with piperidine in N,N-dimethylacetamide in the presence

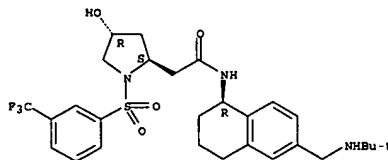
of NaBH(OAc)₃. Selected I bound to hB1 bradykinin receptor with IC₅₀ values < 100 nm in an in vitro assay using calcium flux. Thus, I are useful for prophylaxis and treatment of diseases and other maladies or conditions involving pain, inflammation mediated by Bradykinin.

IT 783239-90-7P, N-[(1R)-6-[[1-(1,1-dimethylethyl)amino]methyl]-1,2,3,4-tetrahydro-1-naphthalenyl]-2-[[2S,4R]-4-hydroxy-1-[[3-(trifluoromethyl)phenyl]sulfonyl]-2-pyrrolidinyl]acetamide
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (bradykinin antagonist; preparation of cyclic amine derivs. as bradykinin

antagonists and their use in treatment of pain and inflammation)

RN 783239-90-7 CA
 CN 2-Pyrrolidineacetamide, N-[(1R)-6-[[1-(1,1-dimethylethyl)amino]methyl]-1,2,3,4-tetrahydro-1-naphthalenyl]-4-hydroxy-1-[[3-(trifluoromethyl)phenyl]sulfonyl]-, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



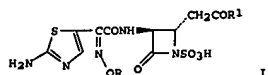
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

10/823,372

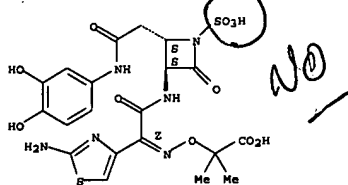
L4 ANSWER 3 OF 3 CA COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 117:111326 CA
 TITLE: Synthesis and antibacterial activity of C-4 substituted monobactams
 AUTHOR(S): Arnould, J. C.; Boutron, P.; Pasquet, M. J.
 CORPORATE SOURCE: Cent. Rech., ICI-Pharma, Reims, 51064, Fr.
 SOURCE: European Journal of Medicinal Chemistry (1992), 27(2),

131-40
 CODEN: EJMCA5; ISSN: 0223-5234
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB Monobactams I [R = Me, CMe2CO2H; R1 = OEt, OH, NHCH2CO2H, NHCH2CO2Me, NHCH2CN, NHC6H3(OH)2-3,4, 4-methylpiperazino, NHCH2CH2R2; R2 = NH2, 1-methyl-4-pyridiniumylamino, 2-thioxoimidazolidin-1-yl (Q), 3,4-(HO)2C6H3CONH] were prepared from 6-aminopenicillanic acid. I (R = Me, R1 = OH, NHCH2CO2H, NHCH2CH2Q) showed good to moderate activity against Gram-neg. bacteria with the exception of Pseudomonas aeruginosa. Introduction of a catechol moiety on the C(4) side chain only slightly improved the activity against P. aeruginosa.
 IT 141993-00-2P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation and bactericidal activity of)
 RN 141993-00-2 CA
 CN Propanoic acid, 2-[[[1-(2-amino-4-thiazolyl)-2-[[2-[2-[(3,4-dihydroxyphenyl)amino]-2-oxoethyl]-4-oxo-1-sulfo-3-azetidinyl]amino]-2-oxoethylidene]amino]oxy]-2-methyl-, [2S-[2α,3β(Z)]]- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.
 Double bond geometry as shown.

L4 ANSWER 3 OF 3 CA COPYRIGHT 2006 ACS on STN (Continued)



10/823,372

=> file marpat

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L5 28 SEA SSS FUL L1

=> s l5/com

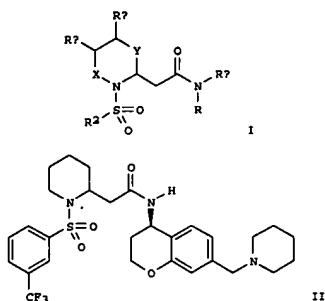
L6 26 L5/COM

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L6 ANSWER 1 OF 26 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 143:405810 MARPAT
 TITLE: Preparation of cyclic amine derivatives as bradykinin antagonists and their use in the treatment of pain and inflammation
 INVENTOR(S): Groneberg, Robert D.; Zhan, James; Askew, Benny C.; D'Amico, Derin C.; Han, Nianhe; Potech, Christopher H.; Liu, Qingyian; Riahi, Babak; Zhu, Jiawang; Yang, Kevin; Chen, Jian Jeffrey; Nomak, Rana
 PATENT ASSIGNEE(S): Amgen Inc., USA; Array Biopharma, Inc.
 SOURCE: U.S. Pat. Appl. Publ., 107 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005234044	A1	20051020	US 2004-823372	20040413
PRIORITY APPLN. INFO.: US 2004-823372 20040413				

GI



AB Title compds. I [wherein X = (CH₂)_t; Y = (CH₂)_q; q = 0-3; t = 0-2; when t = 2, q is not 3; R = 9-11-membered fused bicyclic carbocyclic or heterocyclic ring substituted with 1 to 3 basic moieties, and optionally substituted with 1 to 3 groups independently selected from NH₂, OH, CN, oxo, alkoxy etc.; R₂ = (un)substituted arylalkenyl, aryl, heterocyclyl

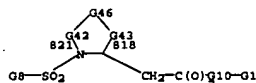
L6 ANSWER 1 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
 G46 = 816-821 817-818



Patent location: claim 1
 Note: substitution is restricted
 Note: and pharmaceutically acceptable derivatives
 Note: also incorporates claims 15 and 32

L6 ANSWER 1 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
 selected from thienyl, imidazolyl, and benzo-fused heteroaryl; Ra = independently H, alkyl; and aryl optionally substituted with 1 to 3 groups independently selected from halo, OH, CN, alkylamino, alk(en/yn)yl, etc.; Rb = independently H, oxo, OH, benzyloxy, C1-2-alkyl; Rc = independently H, alkyl; or RbC(Rc) = 6-membered heteroaryl optionally substituted with 1 to 3 groups independently selected from halo, OH, CN, CF₃, oxo, alkoxy, alkylamino, alkenyl, etc.; and their pharmaceutically acceptable salts] were prepd. as bradykinin antagonists. Seven biol. tests are given. For example, II·HCl was prepd. by reductive amination of N-((R)-7-formylchroman-4-yl)-2-[1-(3-trifluoromethylbenzenesulfonyl)piperidin-2-yl]acetamide (prepn. given) with piperidine in N,N-dimethylacetamide in the presence of NaBH(OAc)₃. Selected I bound to hB1 bradykinin receptor with IC₅₀ values < 100 nm in an in vitro assay using calcium flux. Thus, I are useful for prophylaxis and treatment of diseases and other maladies or conditions involving pain, inflammation mediated by Bradykinin.

NR 1



G1 = 19



G8 = thienyl (opt. substd.)
 G10 = NH
 G12 = 28-7 29-20 30-18



G13 = CH₂
 G42 = (0-3) CH₂
 G43 = (0-2) CH₂

L6 ANSWER 2 OF 26 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 143:405805 MARPAT
 TITLE: Preparation of substituted 1-sulfonylpiperidines as γ-secretase inhibitors
 INVENTOR(S): Amberom, Theodoros; Clader, John W.; Josien, Hubert B.; Pissarnitski, Dmitri A.; Zhao, Zhiqiang; McBriar, D.
 Mark: Schering Corporation, USA
 PATENT ASSIGNEE(S): PCT Int. Appl., 134 pp.
 SOURCE: CODEN: PIXX2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005097768	A2	20051020	WO 2005-US11456	20050404
WO 2005097768	A3	20051215		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KQ, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, NG, SN, TD, TO

US 2006004004 A1 20060105 US 2005-98745 20050404
 PRIORITY APPLN. INFO.: US 2004-559529P 20040405
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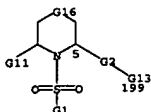
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = (un)substituted (hetero)aryl; R2 = carboxamido, alkylene-carboxamido, etc.; R3 = H, alkyl, alkoxy, OH, amino, acyl, etc.; R4-5 = H, alkyl; R6 = (un)substituted (hetero)aryl, (cyclo)alkyl, etc.; m, n, p = 0-3 with some provisions] are prepared For instance, intermediate II is prepared in 4 steps from 6-bromopicolinic acid, 3,5-difluorophenylboronic acid and 4-chlorobenzenesulfonyl chloride. Example compound III is prepared from II in 12 addnl. steps using 2-(piperazin-1-yl)ethanol. III has γ-secretase activity with an IC₅₀ = 0.0028 μM. I are useful for the treatment of various neurodegenerative diseases and may be used to treat, e.g., Alzheimer's Disease.

NR 1

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L6 ANSWER 2 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G1 = 369

p-C₆H₄Cl
369

G2 = 200-5 201-199

G10-G12
200 201

G6 = 73

G10 = alkylene <containing 1-20 C>
(opt. substd. by 1 or more OH)

G12 = C(O)

G13 = 44



G16 = 209

G29 = (0-1) CH₂

Patent location:

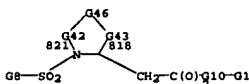
Note:

claim 1
or pharmaceutically acceptable salts, solvates, or
estersL6 ANSWER 3 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
H, alkyl; or RbCCRC = 6-membered hetero/aryl optionally substituted with

1 to 3 groups independently selected from halo, OH, CN, CF₃, oxo, alkoxy, alkylamino, alkenyl, etc.; and their pharmaceutically acceptable salts] were prepd. as bradykinin antagonists. Seven biol. tests are given. For example, II=HCl was prepd. by reductive amination of aldehyde III (prepn. given) with piperidine in N,N-dimethylacetamide in the presence

of NaBH(OAc)₃. Selected I bound to hB1 bradykinin receptor with IC₅₀ values < 100 nm in an in-vitro assay using calcium flux. Thus, I are useful for prophylaxis and treatment of diseases and other maladies or conditions involving pain, inflammation mediated by Bradykinin.

MSTR 1



G1 = 19



G8 = thienyl (opt. substd.)

G10 = NH

G12 = 28-7 29-20 30-18

G13 = CH₂G42 = (0-3) CH₂G43 = (0-2) CH₂

G46 = 816-821 817-818



Patent location:

Note:

Note:

Note:

claim 1
substitution is restricted
and pharmaceutically acceptable derivatives
also incorporates claims 15 and 32

L6 ANSWER 3 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

TITLE:

141:379814 MARPAT
Preparation of cyclic amine derivatives as bradykinin
antagonists and their use in the treatment of pain

and

INVENTOR(S):

Kevin;

SOURCE:

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PCT Int. Appl., 261 pp.

CODEN: PIXXD2

Patent

English

1

PATENT NO.

KIND

DATE

APPLICATION NO.

DATE

WO 2004092164

A1

20041028

WO 2004-US11670

20040412

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HK, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, ME, MG, MK, MN, MU, MV, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CP, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2522084

AA

20041028

CA 2004-2522084

20040412

EP 1633743

A1

20060315

EP 2004-759563

20040412

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

US 2003-461673P

20030410

US 2004-US11670

20040412

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein X = (CH₂)_q; Y = (CH₂)_t; q = 0-3; t = 0-2; when t = 2, q is not 3; R = 9-11-membered fused bicyclic carbocyclic or heterocyclic ring substituted with 1 to 3 basic moieties, and optionally substituted with 1 to 3 groups independently selected from NH₂, OH, CN, oxo, alkoxy etc.; ; R₂ = (un)substituted arylalkenyl, aryl, heterocyclyl selected from thienyl, imidazolyl, and benzofused heteroaryl; R_a = independently H, alkyl; and aryl optionally substituted with 1 to 3 groups independently selected from halo, OH, CN, alkylamino, alk(en/yn)yl, etc.; R_b = independently H, oxo, OH, benzyloxy, C1-2-alkyl; R_c = independently

L6 ANSWER 3 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT:

6

FORMAT

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE

L6 ANSWER 4 OF 26 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 139:358757 MARPAT
 TITLE: Use of compounds having CCR antagonist
 INVENTOR(S): Tsuchimori, Noboru; Iizawa, Yuji; Shiraishi, Mitsuru;
 Sugihara, Yoshihiro
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
 SOURCE: PCT Int. Appl., 229 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003090748	A1	20031106	WO 2003-JP5172	20030423
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
R: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2483253	AA	20031106	CA 2003-2483253	20030423
AU 2003235097	A1	20031110	AU 2003-235097	20030423
JP 2004002402	A2	20040108	JP 2003-118997	20030423
EP 1498125	A1	20050119	EP 2003-719177	20030423
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005245537	A1	20051103	US 2004-511112	20041021
PRIORITY APPLN. INFO.: JP 2002-122832 20020424 WO 2003-JP5172 20030423				

AB It is intended to provide preventives/remedies for graft vs. host disease and/or rejection in organ or bone marrow transplantation, rheumatoid arthritis, autoimmune diseases, allergic diseases, ischemic cerebral cell injury, myocardial infarction, chronic nephritis and arteriosclerosis. The above object can be achieved by preventives/remedies for graft vs. host disease and/or rejection in organ or bone marrow transplantation, rheumatoid arthritis, autoimmune diseases, allergic diseases, ischemic cerebral cell injury, myocardial infarction, chronic nephritis and arteriosclerosis characterized by containing a specific compound having (CC chemokine receptor) antagonist.

MPTR 5

G2-G7-G1-G3
1-2-3-18

G1 = 372-2 366-18

L6 ANSWER 4 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G15=O
50

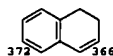
G30 = 21

G21
G23-G21
G21

Patent location: claim 1
Note: or salts

REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G3 = 4 / 19 / 43

G21
G23-G21
G21
G8-G9
G26-G12-G13

G7 = bond
G9 = 134

G21
G23-G21
G21

G12 = 258-43 253-45



G13 = 119

G29-G30
119 120

G15 = carbon chain <containing 1 or more C, saturated> (opt. substd. by OH)
G21 = carbocycle (opt. substd.) / Ph (opt. substd.)
G23 = 107

G107

G26 = 502
G29 = 50

L6 ANSWER 5 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 139:323539 MARPAT
 TITLE: Preparation of nitrogenous heterocyclic compounds as sodium channel blockers
 INVENTOR(S): Ozaki, Fumihiko; Ono, Mutsuko; Kawano, Koki; Norimine, Yoshihiko; Onogi, Tatehiro; Yoshinaga, Takeshi; Kobayashi, Kiyooki; Suzuki, Hiroyuki; Minami, Hiroe; Sawada, Kohei
 PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 401 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003084948	A1	20031016	WO 2003-JP3064	20030314
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
R: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004167224	A1	20040826	US 2003-388185	20030312
US 6995144	B2	20060207		
CA 2477839	AA	20031016	CA 2003-2477839	20030314
AU 2002213361	A1	20031020	AU 2003-213361	20030314
EP 1484327	A1	20041208	EP 2003-708607	20030314
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1630650	A	20050622	CN 2003-805850	20030314
US 2005245527	A1	20051103	US 2005-173099	20050701
PRIORITY APPLN. INFO.: JP 2002-69529 20020314 US 2003-388185 20030312 WO 2003-JP3064 20030314				

AB The title compds. such as (piperidinomethyl)pyrazine and (piperidinomethyl)pyrimidine and (piperidinomethyl)pyridine derivs. represented by the general formula A1-X1-X2-Z1-X3-X4-A2, salts thereof, or hydrates of either: [wherein X1, X2 = a single bond, each (un)substituted C1-6 alkylene, C3-8 cycloalkylene, monocyclic 4- to 8-membered nonarom. heterocyclic ring, C2-6 alkenylene, C2-6 alkynylene, CONH, NHCO, SO2 NH, NH SO2, or, NH, O, CO, S, SO, SO2; X3, X4 = groups listed in X1 and X2, (un)substituted C(NOH) or 5- to 10-membered aromatic heterocyclic ring; Z1 = (un)substituted mono or bicyclic 4- to 12-membered nonarom. heterocyclic ring containing at least one N atom; A2 = each (un)substituted Ph, 1- or 2-naphthyl, 5- to 10-membered aromatic heterocyclic ring, 9- to 11-membered benzene-fused ring, or 9- to 11-membered aromatic heterocyclic ring-fused ring; A1 = C(=O), 5- to 7-membered heterocyclic ring containing N atom, G2, G3 (wherein G1 = O, S, optionally N-C1-6 alkyl-substituted NH; R21 = H,

L6 ANSWER 5 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
 C1-6 alkyl; n = 0, 1]] are prepd. These compds. are useful as analgesics and for prevention and treatment of (1) neuralgia including diabetic neuralgia, HIV neuralgia, post-herpes zoster neuralgia, trigeminal neuralgia, stump neuralgia, post-spinal cord injury neuralgia, thalamus neuralgia, and post-stroke neuralgia, and (2) lumbago (backache), nerve root disorder, inflammation, arthralgia, post-surgery pain, cancer pain, cerebral vascular acute nerve disorder, head trauma nerve disorder, spinal cord injury-related nerve damage, Parkinson's disease, multiple sclerosis, epilepsy, insomnia, premature ejaculation, or manic-depressive psychosis. In biol. assays, 3-[4-[(2-fluorophenyl)ethynyl]piperidino]methyl-1H-pyrazin-2-one inhibited ectopic firing with ID50 of ≤ 0.5 mg/kg in rats and in vitro showed sodium channel-blocking activity in cultured rat hippocampus with IC50 of 0.4 μ M.

MSTR 1B

Q30-Q1-Q20

G1 = 4-1 5-3

Q2-Q16

G2 = 6-1 7-5

Q4-Q3

G3 = 610-6 615-5



G4 = 502
 G16 = 534-4 535-3

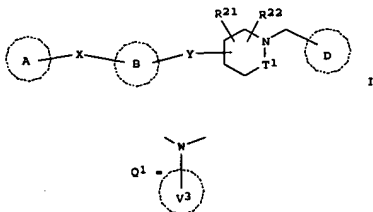
Q27-Q28
 534 535

G20 = Ph (opt. substd.)
 G27 = 538-4 539-535

L6 ANSWER 6 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
 ACCESSION NUMBER: 136:232201 MARPAT
 TITLE: Preparation of cyclic amine derivatives as CCR3 antagonists
 INVENTOR(S): Morihira, Koichiro; Inami, Hiroshi; Kubota, Hirokazu; Yokoyama, Kazuhiro; Morokata, Tatsuki; Takeuchi, Makoto; Takahashi, Toshiya; Kaneko, Masayuki; Imaoka, Takayuki; Torii, Yuichi; Iura, Yosuke
 PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan; Toray Industries, Inc.
 SOURCE: PCT Int. Appl., 92 pp.
 DOCUMENT TYPE: CODEN: PIXXD2
 LANGUAGE: Patent
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION: Japanese

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018335	A1	20020307	WO 2001-JP7321	20010827
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CP, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001080187	A5	20020313	AU 2001-80187	20010827
PRIORITY APPLN. INFO.: JP 2000-257451 20000828				
WO 2001-JP7321 20010827				

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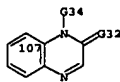


AB The title compds. I [ring A = (un)substituted heterocyclic ring, etc.; X = bond, O, CO, etc.; ring B = Q1, etc.; ring V3 = hydrocarbon ring, etc.; W = CH, H; Y = CO, etc.; R21, R22 = H, halo, etc.; T1 = (CH2)n; n = 0-2; ring D = (un)substituted aryl, etc.] are prepared. In an in vitro test

L6 ANSWER 5 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G28 = NH (opt. substd.)
 G30 = 107



Patent location: claim 1
 Note: or salts or hydrates
 Note: oxo substitution also claimed

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
 CCR3 antagonism) using cells, compds. of this invention showed IC50 values of 0.001 μ M to 0.45 μ M.

MSTR 1A

Q1-Q15-Q18-Q26-CH2-Q30

G1 = 7

Q3-Q2

G2 = Ph (opt. substd. by 1 or more G31)
 G3 = 59-8 61-2



G7 = alkylene (containing 1-6 C) (opt. substd.)
 G15 = 194-1 195-3



G18 = 138-2 139-4



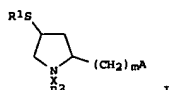
Patent location: claim 1
 Note: or pharmacologically acceptable salts
 Note: substitution is restricted

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 26 MARPAT COPYRIGHT 2006 ACS ON STN
 ACCESSION NUMBER: 136:134667 MARPAT
 TITLE: Preparation of mercaptopyrrolidinecarboxamides related
 compounds as inhibitors of endothelin-converting enzyme
 INVENTOR(S): Aebi, Johannes; Blum, Denise; Bur, Daniel; Chucholowski, Alexander; Dehmow, Henriette; Kitas, Eric Argirios; Loeffler, Bernd Michael; Obst, Ulrike; Wallbaum, Sabine
 PATENT ASSIGNEE(S): P. Hoffmann-La Roche A.-G., Switz.
 SOURCE: PCT Int. Appl., 160 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006222	A1	20020124	WO 2001-EP7950	20010710
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: OH, OM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2414311	AA	20020124	CA 2001-2414311	20010710
EP 1303485	A1	20030423	EP 2001-949485	20010710
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001012580	A	20030617	BR 2001-12580	20010710
JP 2004504297	T2	20040312	JP 2002-512128	20010710
CN 1620433	A	20050525	CN 2001-813023	20010710
US 2002049243	A1	20020425	US 2001-907135	20010717
US 6541638	B2	20030401		
ZA 2003000167	A	20040407	ZA 2003-167	20030107
PRIORITY APPL. INFO.:			EP 2000-114947	20000719
			WO 2001-EP7950	20010710

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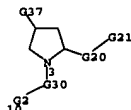


L6 ANSWER 7 OF 26 MARPAT COPYRIGHT 2006 ACS ON STN (Continued)
 REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

L6 ANSWER 7 OF 26 MARPAT COPYRIGHT 2006 ACS ON STN (Continued)

AB Title compds. [I; R1 = H, alkylcarbonyl, arylcarbonyl; R2 = alkyl, alkylcycloalkyl, cycloalkyl, haloalkyl, carboxyalkyl, aryl, alkynyl, arylalkoxyalkyl, heterocyclyl, etc.; A = COR3, CH(OH)R4, CONR5R6; R3, R4 = alkyl, aryl, arylalkynyl, aralkyl, arylalkenyl; R5 = H, alkyl, cycloalkyl, cycloalkylalkyl, carboxyalkyl, aralkyl; R6 = alkyl, alkylcarbonylalkyl, cyanoalkyl, hydroxyalkyl, aminocarbonylalkyl, aryl, etc.; m = 0-2; X = SO2, CO, CO2, SO2NH, CONR13; R13 = H, alkyl, aryl, carboxyalkyl], and dimers thereof, were prepared. Thus,
 (2S,4R)-[[4-(4-methoxybenzylsulfanyl)-1-(naphthalene-2-sulfonyl)pyrrolidine-2-carbonyl]methylamino]acetic acid (preparation given) in CH2Cl2 were treated with NMM, HOBT in CH2Cl2, EDCI in CH2Cl2, and o-toluidine in CH2Cl2; the solution was shaken overnight to give
 a residue which was treated with Et3SiH in CP3CO2H at 80° for 1 h to give (2S,4R)-4-mercapto-1-(naphthalene-2-sulfonyl)pyrrolidine-2-carboxylic acid methyl (o-tolylcarbamoylmethyl)amide. I inhibited endothelin converting enzyme with IC50 = 5-1000 nM.

NOTE 1



G2 = alkyl (containing up to 7 C)
 G5 = cyclopropyl
 G20 = (0-2) CH2
 G21 = 27

25(O)G23

G23 = 29



G30 = SO2
 Patent location: claim 1
 Note: and dimeric forms, and pharmaceutically acceptable esters, and salts

L6 ANSWER 8 OF 26 MARPAT COPYRIGHT 2006 ACS ON STN
 ACCESSION NUMBER: 135:226989 MARPAT
 TITLE: Synthesis of thiazolol-phenyl-amide derivatives used to inhibit herpes virus replication and treat herpes infection
 INVENTOR(S): Crute, J. James; Faucher, Anne-marie; Grygon, Christine; Hargrave, Karl D.; Simoneau, Bruno; Thavonekham, Bounkham
 PATENT ASSIGNEE(S): Boehringer Ingelheim Ltd., Can.; Boehringer Ingelheim Pharma KG
 SOURCE: U.S., 61 pp., Cont.-in-part of U.S. Ser. No. 759,201.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6288091	B1	20010911	US 1999-364446	19990730
CN 1207094	A	19990203	CN 1996-199443	19961204
US 6057451	A	20000502	US 1996-759201	19961204
ZA 9610850	A	19970630	ZA 1996-10850	19961223
US 6348477	B1	20020219	US 1999-456857	19991208
US 6458959	B1	20021001	US 2000-685686	20001010
PRIORITY APPL. INFO.:			US 1995-9433P	19951229
			US 1996-23209P	19960802
			US 1996-759201	19961204
			US 1999-456857	19991208

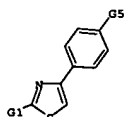
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

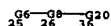
AB Title compds. I [R = H, alkyl(amino), amino, alkanoylamino, etc.; Z = NR2-C(O)-Q-CH(R3)-NR4R5; R2 = H, alkyl; Q = bond, CH2; R3 = H, ((substituted)phenyl)alkyl; R4 = H, ((substituted)phenyl)alkyl, indenyl, cycloalkyl-alkyl; R5 = (Het)-(Y)-(alkyl)-C(O); Het = pyridinyl; Y = O, S] were prepared. Over 200 synthetic examples were disclosed. For instance, Boc-glycine was N-benzylated (NaH, PhCH2Br, THF, reflux, 16 h) and the product converted to II (1-BuOCOC1, Et3N, DCM, 4'-aminoacetophenone, room temperature, 16 h). Amide II was converted to example compound III (n = 0, P = Boc, E = CH2Ph) (I2, thiourea, IPA, reflux, 2.5 h.). III (n = 0, P = CH2Ph, E = C(=O)Ph) had IC50 = 0.072 µM for HSV-1 and EC50 = 0.007 µM for human cytomegalovirus. I are used for treating herpes infection by inhibiting the herpes helicase-primase enzyme complex.

NOTE 1

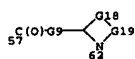
L6 ANSWER 8 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G5 = 25



G6 = NH
G8 = 57-25 62-36



G9 = CH2
G18 = (2-3) CH2
G19 = CH2
G20 = 83



G22 = Ph
Patent location:
Note:
Note:
Note:

claim 1
also incorporates broader disclosure
or therapeutically acceptable acid addition salts
substitution is restricted

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR
THIS

FORMAT

RECORD. ALL CITATIONS AVAILABLE IN THE RE

L6 ANSWER 9 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 135:19547 MARPAT

TITLE: Preparation of sulfonamides and sulfinamides as NPY
Y5

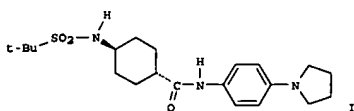
INVENTOR(S): antagonists
Kawanishi, Yasuyuki; Takenaka, Hideyuki; Hanasaki,
Kohji; Okada, Tetsuo
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 273 pp.

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001037826	A1	20010531	WO 2000-JP8197	20001121
M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TO				
CA 2389681	AA	20010531	CA 2000-2389681	20001121
AU 2001014186	A5	20010604	AU 2001-14186	20001121
AU 780790	B2	20050414		
BR 2000015843	A	20020827	BR 2000-15843	20001121
EP 1249233	A1	20021016	EP 2000-976387	20001121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NZ 519070	A	20050826	NZ 2000-519070	20001121
RU 2264810	C3	20051127	RU 2002-117021	20001121
ZA 2002003306	A	20030425	ZA 2002-3306	20020425
US 6699891	B1	20040302	US 2002-111981	20020501
NO 200202481	A	20020726	NO 2002-2481	20020524
US 2004176462	A1	20040909	US 2003-747034	20031230
US 2004180964	A1	20040916	US 2003-747359	20031230
PRIORITY APPLN. INFO.: JP 1999-136469 19991126				
JP 1999-151786 19991214				
WO 2000-JP8197 20001121				
US 2002-111981 20020501				

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L6 ANSWER 9 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

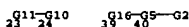


AB The title compds. R1S(O)n(R2)XYZ [R1 represents lower alkyl, cycloalkyl, etc.; R2 represents hydrogen, lower alkyl, etc.; n is 1 or 2; X represents lower alkylene, lower alkenylene, arylene, cycloalkylene, etc.; Y represents CONR7, CSNR7, NR7CO, NR7CS, etc. (wherein R7 represents hydrogen or lower alkyl); and Z represents lower alkyl, an optionally substituted hydrocarbon ring, an optionally substituted heterocycle, etc.]
are prepared In an in vitro test for affinity for the neuropeptide Y5 receptors, the title compound I showed the IC50 value of 0.4 nM.
Formulations are given.

MSTR 1



G1 = 23 / 39



G2 = Pr-1
G3 = NH
G5 = SO2
G7 = 15



G8 = O
G9 = Ph (opt. substd.)
G10 = 3



G16 = 54-5 44-40

L6 ANSWER 9 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G17 = bond
G18 = alkylene <containing 1-6 C>
Patent location: claim 1
Note: and prodrugs and pharmacologically acceptable salts

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR
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RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

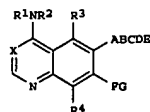
10/823,372

L6 ANSWER 10 OF 26 MARPAT COPYRIGHT 2006 ACS ON STN
 ACCSSION NUMBER: 133:207919 MARPAT
 TITLE: Preparation of 4-amino-quinazoline and quinoline derivatives having an inhibitory effect on signal transduction mediated by tyrosine kinases useful for treating tumoral diseases, lung and respiratory tract diseases
 INVENTOR(S): Himmelsbach, Frank; Langkopf, Elke; Jung, Birgit; Metz, Thomas; Solca, Flavio; Blech, Stefan
 PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
 SOURCE: PCT Int. Appl., 232 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

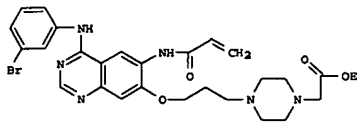
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000051991	A1	20000908	WO 2000-EP1496	20000224
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RM: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SF, BJ, CF, CG, CI, CN, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19908567	A1	20000831	DE 1999-19908567	19990227
DE 19911366	A1	20000921	DE 1999-19911366	19990315
DE 19928306	A1	20001228	DE 1999-19928306	19990621
DE 19954816	A1	20010517	DE 1999-19954816	19991113
CA 2361174	AA	20000908	CA 2000-2361174	20000224
EP 1157011	A1	20011128	EP 2000-910695	20000224
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 200008524	A	20011218	BR 2000-8524	20000224
JP 2002538145	T2	20021112	JP 2000-602218	20000224
JP 3751201	B2	20060301		
EE 200100449	A	20021216	EE 2001-449	20000224
BG 105765	A	20020329	BG 2001-105765	20010801
HR 2001000617	A1	20021031	HR 2001-617	20010823
NO 2001004114	A	20011015	NO 2001-4114	20010824
US 6972288	B1	20051206	US 2002-914323	20020206
PRIORITY APPL. INFO.:			DE 1999-19908567	19990227
			DE 1999-19911366	19990315
			DE 1999-19928306	19990621
			US 1999-149329P	19990817
			DE 1999-19954816	19991113
			WO 2000-EP1496	20000224

GI

L6 ANSWER 10 OF 26 MARPAT COPYRIGHT 2006 ACS ON STN (Continued)



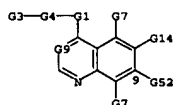
I



II

AB Title compds. [I; R1 = H, C1-C4-alkyl; R2 = (un)substituted Ph, benzyl, 1-phenylethyl; R3, R4 independently = H, F, Cl, CH3O, CH3OCH2, (CH3)2NCH2, (CH3CH2)2NCH2, pyrrolidino, piperidino, morpholino; X = C(CN), N; A = O, NH, (C1-C4)-alkyl; B = CO, SO2; C = 1,3-allenylene, 1,1-vinylene, 1,2-vinylene, 1,3-butadiene-1,4-ylene, with CH3, CF3 substitution; D = alkylene, CO-alkylene, SO2-alkylene; CO, SO2; E = HOCO(CH2)nNR5, (HO)2P(O)(CH2)nNR5; n = 1-6; R5 = H, alkyl, tautomers, stereoisomers, and physiol. acceptable salts are prepared and having valuable pharmacol. properties, particularly an inhibiting effect on signal transduction mediated by tyrosine kinases. Title compds. are useful for treating tumoral diseases, diseases of the lungs and respiratory tract. Thus, the title compound II was prepared and tested by Cell Titer 96TM Aqueous Nonradioactive Cell Proliferation Assay.

NSTR 1

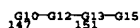


G4 = bond

L6 ANSWER 10 OF 26 MARPAT COPYRIGHT 2006 ACS ON STN (Continued)



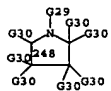
G10 = NH
 G12 = C(O)
 G13 = carbon chain <containing 2 or more C, 1-2 double bonds, 0-1 triple bond> (opt. substd. by F)
 G14 = 147



G15 = 152



G20 = 248



G29 = alkylsulfonyl <containing 1-4 C>

G50 = bond

Patent location:

Note:

Note:

Note:

Stereochemistry:

claim 1
 and tautomers and salts
 also incorporates claim 22
 substitution is restricted
 and stereoisomers

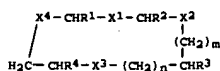
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L6 ANSWER 11 OF 26 MARPAT COPYRIGHT 2006 ACS ON STN
 ACCSSION NUMBER: 132:166521 MARPAT
 TITLE: Preparation of monocyclic compounds having NK-2 antagonist action
 INVENTOR(S): Altamura, Maria; Crisiccoli, Marco; Guidi, Antonio; Perrotta, Enzo; Maggi, Carlo Alberto
 PATENT ASSIGNEE(S): Menarini Ricerche S.p.A., Italy
 SOURCE: PCT Int. Appl., 50 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000008046	A1	20000217	WO 1999-EP5459	19990730
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RM: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SF, BJ, CF, CG, CI, CN, GA, GN, GW, ML, MR, NE, SN, TD, TG				
IT 1304888	B1	20010405	IT 1998-FI186	19980805
TM 491857	B	20020621	TM 1999-88112671	19990727
CA 2339638	AA	20000217	CA 1999-2339638	19990730
AU 9955079	A1	20000228	AU 1999-55079	19990730
TR 200100354	T2	20010521	TR 2001-200100354	19990730
EP 1102789	A1	20010530	EP 1999-941479	19990730
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EP 1297826	A1	20030402	EP 2001-123120	20010927
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPL. INFO.:			IT 1998-FI186	19980805
			WO 1999-EP5459	19990730

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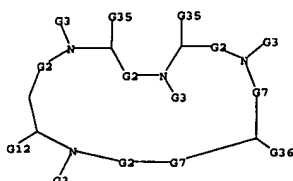


I

AB Cyclic peptides I [X1, X2, X3, X4 = CONR, NRCO, CH2NR, NRCH2 (R = H, alkyl, benzyl); m, n = 0, 1, 2; R1, R2 = aryl, arylmethyl, 2-arylethyl; R3 = aryl, arylmethyl, 2-arylethyl; R4 = NR8R9 (R8 = H, alkyl; R9 = methanesulfonyl, tosyl, tetrahydropyranyl, tetrahydropyran-2-yl, 6-oxides, piperidinyl or N-substituted derivs., morpholino, furyl- or cyanoalkyl, etc.)] or their pharmaceutically acceptable salts were prepared

L6 ANSWER 11 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
as NK-2 antagonists. Thus, cyclo[Suc[1-(4-tetrahydropyridyl)amino]-Trp-Phe-[(R)-NHCH(CH₂Ph)CH₂NH]] (Suc = succinyl group) was prep'd. by a multistep procedure starting from H-Trp-Phe-OH and assayed as antagonist on the NK-2 receptor of tachykinins (binding const. pK_i = 8.5).

FIG. 1A



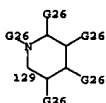
G2 = C(O)
G7 = (0-2) CH₂
G12 = 43

G13-G15

G13 = NH
G15 = 90

G21-G22

G21 = alkylene (containing 1-3 C, unbranched)
G22 = 129



G26 = SO₂NH₂

Derivative:
Patent location:

Note:

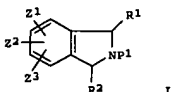
Stereochemistry:

and pharmaceutically acceptable salts
claim 1
additional substitution also claimed
and enantiomers or diastereoisomers

L6 ANSWER 12 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 131:116225 MARPAT
TITLE: Preparation of isoindole derivatives as endothelin receptor antagonists
INVENTOR(S): Elliott, John Duncan; Franz, Robert Gene; Lago, M. Amparo; Gao, Aiming
PATENT ASSIGNEE(S): Smithkline Beecham Corp., USA
SOURCE: U.S., 9 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5929106	A	19990727	US 1997-958781	19971027
PRIORITY APPLN. INFO:			US 1997-958781	19971027



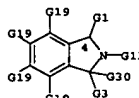
AB Dihydroisoindole compds. of formula (I); R₁ = X (CH₂)_nR₈; R₂ = H, Ar, C₁-4 alkyl; P₁ = tetrazolyl, SO₂R₇R₁₁, (CH₂)₅CO₂R₇; Z₁, Z₂ = H, C₁-8 alkyl, C₂-8 alkenyl, C₂-8 alkynyl, OH, C₁-8 alkoxy, C₁-8 alkyl-(S)q, (un)substituted NH₂, Br, F, Iodo, NHCHO, C₁-4 alkylcarbonylamino, Ph, CH₂Ph, etc.; or Z₁ and Z₂ together may be O-A-O on contiguous carbons; wherein A = CO, (un)substituted CH₂; Z₃ = Z₁, X-R₉-Y; X = (CH₂)_n, O, (un)substituted NH; wherein Y = Me, X(CH₂)_nAr; wherein R₇ = H, C₁-10 alkyl, C₂-10 alkenyl, C₂-8 alkynyl, (CH₂)_nAr; R₈ = R₁₁, CO₂R₇, CO₂C(R₁₁)₂CO₂R₇, PO₃(R₇)₂, SO₂NR₇R₁₁, NR₇SO₂R₁₁, CONR₇SO₂R₁₁, SO₃R₇, SO₂R₇, cyano, etc.; R₉ = (CH₂)_n, C₁-10 alkylene, C₂-10 alkenylene, phenylene, CO, C₁-5 alkyl-X; R₁₁ = H, Ar, C₁-8 alkylene, C₂-8 alkenylene, C₂-8 alkynylene, etc.; Ar = (un)substituted Ph, naphthyl, indolyl, pyridyl, thienyl, oxazolidinyl, oxazolyl, thiazolyl, isothiazolyl, pyrazolyl, triazolyl, tetrazolyl, imidazolyl, imidazolidinyl, thiazolidinyl, isoxazolyl, oxadiazolyl, thiadiazolyl, morpholinyl, piperidinyl, piperazinyl, pyrrolidyl, pyrimidyl, etc.; wherein n = 0-6; q = 0-2) are prepared. The compds. are applied in the treatment of hypertension and cardiovascular and renal diseases. Thus, Me [(1R,3R)-3-[(2-hydroxy-4-methoxyphenyl)-1-(3,4-methylenedioxyphenyl)-5-prop-1-yloxy-(1H,3H-dihydroisoindol-2-yl)acetate in dry DMF was added potassium carbonate under argon, stirred at room temperature for 20 min, then treated with Et bromoacetate, and stirred for 24 h, followed by saponification and acidification, to give the title compound (II). Title compds. inhibited [125 I]ET-1 binding to membranes from rat cerebellum or kidney cortex or CHO cell membranes with IC₅₀ of 0.01 nM to 50 μM and ET-1-induced vascular contraction using rat aorta with dissociation constant of 0.1 nM to 50

L6 ANSWER 11 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L6 ANSWER 12 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
competitive antagonists.

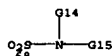
FIG. 1



G1 = 10 / 78 / 86

G10-G6 G22-G21 G23-G(0)-G24
10 11 78 79 86 87

G10 = G11
G11 = (1-12) CH₂
G13 = 68



G14 = Ph (opt. substd.)
G22 = G11
G23 = G11
G24 = 103



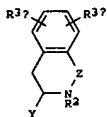
Patent location: claim 1
Note: also incorporates broader disclosure
Note: additional ring formation also claimed
Note: optional presence of a double bond also claimed

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L6 ANSWER 13 OF 26 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 131:31939 MARPAT
 TITLE: Preparation of N-imidazolylethyl tetrahydroisoquinolinecarboxamides and related compounds as inhibitors of farnesyl-protein transferase.
 INVENTOR(S): Ciccarone, Terrence M.; Desolma, S. Jane
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 184 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

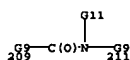
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9928314	A1	19990610	WO 1998-US25383	19981130
W:	AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RM:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 5922590	A	19990603	US 1997-985337	19971204
CA 2311928	AA	19990610	CA 1998-2311928	19981130
AU 9918004	A1	19990616	AU 1999-18004	19981130
EP 1045844	A1	20001025	EP 1998-962855	19981130
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO			
PRIORITY APPLN. INFO.:			US 1997-985337	19971204
			WO 1998-US25383	19981130

GI



AB Title compds. [I; Y =
 (R4) rVA1[C(R1a)2]nA2[C(R1a)2]n[W(R5)]t[C(R1b)2]pX[C
 (R1c)2]q; R1a, R1b, R1c = H, (substituted) alkyl, aryl, heterocyclyl,
 cycloalkyl, alkenyl, alkynyl, cyano, NO2, N3, R80, N(R8)2, etc.; R2 = H,
 (substituted) alkyl, alkenyl, aryl, heterocyclyl, COR6, CONR6R7, SO2R6,
 etc.; R3a, R3b = H, (substituted) alkyl, aryl, heterocyclyl, cycloalkyl,
 alkenyl, alkynyl, halo, perfluoroalkyl, R80, etc.; R4 = H, (substituted)
 alkyl, aryl, heterocyclyl, cycloalkyl, alkenyl, alkynyl, perfluoroalkyl,
 F, Cl, Br, R80, cyano, NO2, R8CO, N(R8)2, etc.; R5 = H, alkenyl, alkynyl,

L6 ANSWER 13 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G9 = carbon chain <containing 1 or more C,
 0 or more double bonds, 0 or more triple bonds>
 (opt. substd.)

G13 = 94



G17 = (1-2) CH2

G23 = cycloalkyl <containing 3-6 C> (opt. substd.)

Derivative: or pharmaceutically acceptable salts

Patent location: claim 1

Note: substitution is restricted

Note: additional derivatization also claimed

Stereochemistry: or optical isomers

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

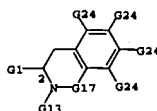
L6 ANSWER 13 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
 cycloalkyl, perfluoroalkyl, F, Cl, Br, R80, R8OC, N3, N(R8)2, NO2, R8CO,
 N3, etc.; R6, R7 = H, (substituted) alkyl, cycloalkyl, heterocyclyl,

aryl,
 perfluoroalkyl; R6R7 = atoms to form a ring; R8 = H, alkyl, PhCH2,
 F3CCH2,

aryl; A1, A2 = bond, CH:CH, C.tplbond.C, CO, CONR8, O, NR8, S, SO, SO2,
 etc.; J, K = N, NH, CH, CH2; V = H, heterocyclyl, aryl,
 (heteroatom-interrupted) alkyl, alkenyl; W = heterocyclyl; X = bond, S,
 SO, SO2, O, CO, NR10, NR10CO, etc.; R10 = H, R8CO, (substituted) alkyl,
 cycloalkyl, heterocyclyl, etc.; Z = (CH2)u; r = 0-5; n, p, q = 0-4; s =

1,
 2; t = 0, 1, 2; with proviso(s), were prep'd. as drugs (no data).
 Thus, 1,2,3,4-tetrahydroisoquinoline-3(S)-carboxylic acid
 [2-[3-(4-cyanobenzyl)-3H-imidazol-4-yl]ethyl]amide hydrochloride in MeOH
 was treated with Et3N, PhCHO, and NaBH3CN followed by 18 h stirring to
 give 2-benzyl-1,2,3,4-tetrahydroisoquinoline-3(S)-carboxylic acid
 [2-[3-(4-cyanobenzyl)-3H-imidazol-4-yl]ethyl]amide.

MSTR 1



G1 = 3



G2 = 5-2 6-4



G3 = 116-5 115-4



G4 = 209-2 211-6

L6 ANSWER 14 OF 26 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 131:19012 MARPAT
 TITLE: Preparation of N-imidazolylethyl benzylpiperazinecarboxamides and related compounds as inhibitors of farnesyl-protein transferase.

INVENTOR(S): Desolma, S. Jane
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 145 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9927933	A1	19990610	WO 1998-US25348	19981130
W:	AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RM:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 5972966	A	19991026	US 1997-985124	19971204
CA 2312361	AA	19990610	CA 1998-2312361	19981130
AU 9915391	A1	19990616	AU 1999-15391	19981130
EP 1035852	A1	20000920	EP 1998-959632	19981130
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO			
PRIORITY APPLN. INFO.:			US 1997-985124	19971204
			WO 1998-US25348	19981130

GI



AB Title compds. [I; Y =
 (R4) rVA1[C(R1a)2]nA2[C(R1a)2]n[W(R5)]t[C(R1b)2]pX[C
 (R3)2]q; Z = (CH2)u; R1a, R1b, R3 = H, (substituted) alkyl, aryl,
 heterocyclyl, cycloalkyl, alkenyl, alkynyl, R80, N(R8)2, cyano, NO2,
 etc.;
 R2 = H, (substituted) alkyl, alkenyl, aryl, heterocyclyl, COR6, CONR6R7,
 SO2R6; R4 = H, (substituted) alkyl, aryl, heterocyclyl, cycloalkyl,
 alkenyl, alkynyl, perfluoroalkyl, F, Cl, Br, R80, cyano, NO2, R8CO,
 N(R8)2, etc.; R5 = H, alkenyl, alkynyl, cycloalkyl, perfluoroalkyl, F,
 Cl,
 Br, R80, R8OC, N3, N(R8)2, NO2, R8CO, N3, etc.; R6, R7 = H,
 (substituted)
 alkyl, cycloalkyl, heterocyclyl, aryl, perfluoroalkyl; R6R7 = atoms to
 form a ring; R8 = H, alkyl, PhCH2, F3CCH2, aryl; A1, A2 = bond, CH:CH,
 C.tplbond.C, CO, CONR8, O, NR8, S, SO, SO2, etc.; V = H, heterocyclyl,
 aryl, alkenyl, (heteroatom-interrupted) alkyl; W = heterocyclyl; X =
 bond,

L6 ANSWER 14 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
S, SO, SO2, O, CO, NR10, NR10CO, etc.; R10 = H, R8CO, (substituted)

alkyl
etc.; n, p, q = 0-4; s = 1, 2; t = 0, 1; u = 1, 2; with provisos], were
prepd. as drugs (no data). Thus, piperidine-2(S)-carboxylic acid
[2-[3-(4-cyanobenzyl)-3H-imidazol-4-yl]ethyl]amide dihydrochloride,
2,2-diphenylacetaldehyde, Et3N, and NaBH3CN were stirred 18 h in MeOH to
give 1-(2,2-diphenylethyl)piperidine-2(S)-carboxylic acid
[2-[3-(4-cyanobenzyl)-3H-imidazol-4-yl]ethyl]amide trihydrochloride.

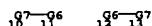
MSTR 1



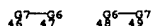
G1 = (1-2) CH2
G2 = 5 / 45 / 111



G5 = 10-1 11-3 / 12-1 13-3



G6 = NH (opt. substd.)
G7 = C(O)
G8 = Ph (opt. substd.)
G12 = alkylene (containing 1-16 C, unbranched)
(opt. substd. by 1 or more G4)
G13 = 46-43 47-45 / 48-43 49-45



G18 = 99



G21 = cycloalkyl (containing 3-6 C) (opt. substd.)
G23 = 112-110 113-6 / 114-110 115-6



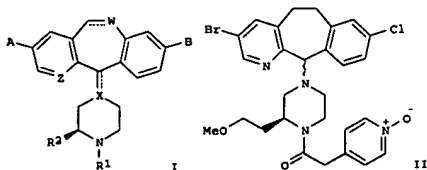
L6 ANSWER 15 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 129:216626 MARPAT
TITLE: Tricyclic compounds
[benzocycloheptapyridinyl]piperazin
es and analogs] useful for inhibition of g-protein
function and for treatment of proliferative diseases
INVENTOR(S): Afonso, Adriano; Baldwin, John J.; Doll, Ronald J.;
Li, Ge; Mallams, Alan K.; Njoroge, P. George; Rane,
Dinaneeth P.; Reader, John C.; Rossman, Randall R.
Scherling Corp., USA; Pharmacoceps, Inc.
U.S., 92 pp., Cont.-in-part of 418,323, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5801175	A	19980901	US 1996-713324	19960913
WO 9631478	A1	19961010	WO 1996-US4172	19960403

W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP,
KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO,
RU, SG, SI, SK, TJ, TM, TR, TT, UA, UZ, VN, AM, AZ, BY, KG, KZ,
MD, RU
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CO, CI, CM, GA, GN, ML,
MR, NE, SN, TD, TG
US 6214827 B1 20010410 US 1998-108124 19980623
PRIORITY APPLN. INFO.: US 1995-418323 19950407
WO 1996-US4172 19960403
US 1996-713324 19960913

G1



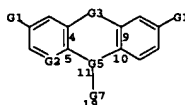
AB Novel comds. I are disclosed [wherein A, B = H, halo, Cl-6 alkyl; Z = N,
CH; W = CH, CH2, O, S; X = C, CH, N; R1 = various sidechains, such as
COCH(NH2)CH2SH, CH2CH(NH2)CH2SH, COCH(SH)CH2NH2,
COCHMeNHCH(CO2H)CH2CH2Ph,
etc.; R2 = H, CO2H or deriva., (un)substituted alk(en/yn)yl, etc.]. Also
disclosed is a method of inhibiting Ras function, and therefore
inhibiting
the abnormal growth of cells, using I. For instance, amidation of
4-pyridineacetic acid N-oxide with the corresponding amine using DEC and
HOBT gave title compound II, which had IC50 of 0.034 μM for inhibition

L6 ANSWER 14 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

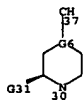
Derivative: or pharmaceutically acceptable salts
Patent location: claim 1
Note: substitution is restricted
Note: additional interruptions of alkylene groups in G3
and G12 also claimed
or optical isomers
Stereochemistry:
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L6 ANSWER 15 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
farnesyl protein transferase in vitro.

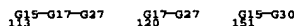
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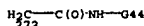
G5 = 37-5 30-19 37-10



G6 = CH
G7 = 113 / 120 / 151



G15 = SO2
G17 = alkylene (opt. substd. by G18)
G31 = 272



G44 = cyclopropyl
Derivative: or dimers or pharmaceutically acceptable salts
Patent location: claim 1
Note: additional ring formation specified
Note: substitution is restricted
Note: also incorporates broader disclosure

REFERENCE COUNT: 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR
THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L6 ANSWER 16 OF 26 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 129:161558 MARPAT
 TITLE: Preparation and formulation of thiazolidinedione derivatives as phospholipase A2 inhibitors
 INVENTOR(S): Seno, Kaoru; Ohtani, Mitsuki; Watanabe, Fumihiko
 PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 178 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

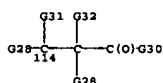
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9833797	A1	19980806	WO 1998-JP307	19980127
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW, GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
TW 577875	B	20040301	TW 1998-87101064	19980126
CA 2277947	AA	19980806	CA 1998-2277947	19980127
CA 2277947	C	20040921		
AU 9855775	A1	19980825	AU 1998-55775	19980127
AU 719210	B2	20000504		
BR 9807132	A	20000125	BR 1998-7132	19980127
EP 976748	A1	20000202	EP 1998-900741	19980127
EP 976748	B1	20031203		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
TR 9901847	T2	20000621	TR 1999-9901847	19980127
RU 2198174	C2	20030210	RU 1999-119481	19980127
AT 255579	E	20031215	AT 1998-900741	19980127
PT 976748	T	20040331	PT 1998-900741	19980127
ES 2210710	T3	20040701	ES 1998-900741	19980127
US 6147100	A	20001114	US 1999-155008	19990722
NO 9903706	A	19990930	NO 1999-1706	19990729
NO 313881	B1	20021216		
MX 9907061	A	20000228	MX 1999-7061	19990729
PRIORITY APPLN. INFO.:			JP 1997-17962	19970331
			WO 1998-JP307	19980127

GI

L6 ANSWER 16 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
 G20 = 106

G21-G27
 106-107

G21 = 602
 G27 = 114



G38 = 142-7 141-96 142-9

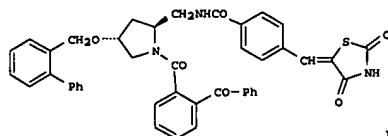
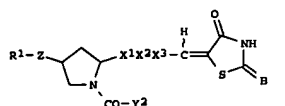


Derivative: or pharmacologically acceptable salts or hydrates
 Patent location: claim 1
 Note: substitution is restricted

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

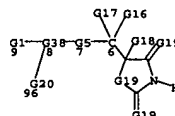
FORMAT

L6 ANSWER 16 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



AB The title compds., e.g. I [R1 represents optionally substituted aralkyl, etc.; Z represents optionally alkylated nitrogen, etc.; X1 represents CH2NHCO, etc.; X2 represents phenylene, etc.; X3 represents a single bond, etc.; Y2 represents optionally substituted aryl, etc.; and B represents oxygen, etc.], are prepared in an in vitro test for cPLA2 inhibition, the title compound II showed IC50 of 0.17 μM.

MSTR 1



G5 = 24-8 26-6



G6 = alkylene <containing 1-3 C, unbranched>
 G7 = NH
 G19 = O

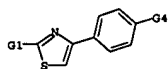
L6 ANSWER 17 OF 26 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 127:149142 MARPAT
 TITLE: Preparation of 4-(aminothiazolyl)acetanilides and analogs as antiherpes agents
 PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA; Boehringer Ingelheim (Canada) Ltd.
 SOURCE: PCT Int. Appl., 336 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9724343	A1	19970710	WO 1996-US19111	19961204
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9716828	A1	19970728	AU 1997-16828	19961204
EP 871619	A1	19981021	EP 1996-945567	19961204
EP 871619	B1	20021106		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1207094	A	19990203	CN 1996-199443	19961204
BR 9612435	A	19990713	BR 1996-12435	19961204
JP 20000502702	T2	20000307	JP 1997-524325	19961204
NZ 331104	A	20000327	NZ 1996-331104	19961204
AT 227279	E	20021115	AT 1996-945567	19961204
ES 2186811	T3	20030516	ES 1996-945567	19961204
CA 2192433	AA	19970630	CA 1996-2192433	19961209
ZA 9610850	A	19970630	ZA 1996-10850	19961223
NO 9802950	A	19980625	NO 1998-2950	19980625
US 6458959	B1	20021001	US 2000-685686	20001010
PRIORITY APPLN. INFO.:			US 1995-9433P	19951229
			US 1996-23209P	19960802
			US 1996-759201	19961204
			WO 1996-US19111	19961204
			US 1999-456857	19991208

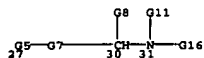
AB 4-RC6H4R1 [I; R = (un)substituted 4-thiazolyl; R1 = NR2CO2CH2CH2NR4R5, NR2aCO2CH2CH2NR4a, etc.; R2,R2a = H or alkyl; R3 = H, alkyl, (un)substituted phenyl(alkyl); R3a = H, (cyano)alkyl, CH2CH2OH, phenyl(alkyl), etc.; R4 = H, alkyl, phenylalkyl, heterocyclyl, etc.; R4a = alkyl, phenyl(alkyl), etc.; R3R4 = atoms to form a ring; NR3aR4a = heterocyclyl; R5 = alkyl, phenyl(alkyl), heterocyclyl, etc.; Z1 = bond or CH2; Z2 = bond or CO] were prepared for treating herpes infections by inhibiting the herpes helicase-primase enzyme complex. Thus, Me3CO2CNCNCH2CO2H was N-alkylated by PhCH2Br and the product amidated by 4-(H2N)C6H4COMe to give, after cyclocondensation with H2NCSNH2 and deprotection, I (R = 2-amino-4-thiazolyl, R1 = NHCOCH2NHCH2Ph). Data for biol. activity of I were given.

MSTR 1

L6 ANSWER 17 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G4 = 27



G5 = NH
G7 = 323-27 324-30



G14 = (2-3) CH2
G15 = CH2
G16 = 75



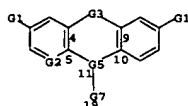
G17 = Ph
G8 + G11 = 55-30 56-31

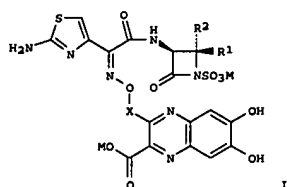


Derivative: or therapeutically acceptable acid addition salts
Patent location: claim 1

L6 ANSWER 18 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
AB The title compds. [I: A, B = H, halogen, alkyl; R1 = COCN(NH2)CH2SH, CH2CH(NH2)CH2SH, COCH(NH2)CH2NH2, CH2CH(SH)CH2NH2, etc.; W = CH, CH2, O, S; X = C, CH, N; the dotted lines represent optional double bonds and when present W = CH and X = C), useful for inhibiting the Ras function and therefore inhibiting the abnormal growth of cells (e.g., cancer) via the inhibition of farnesyl protein transferase, are prepared and I-containing formulations presented. Thus, pyridine derivative II was prepared and demonstrated a tumor cell IC50 of 12.5 μM.

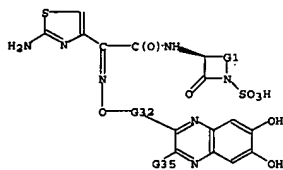
MSTR 1





AB Antibacterial activity against both gram-pos. and gram-neg. organisms is exhibited (no data) by the prepared novel compds. I (R1, R2 = H, alk(en/yn)yl, (un)substituted Ph or heterocyclyl, CO2H, SH or OH or derivs., etc.; M = H, tetraalkylammonium, Na, K, other acceptable cation; X = (CH2)n where n = 0-4, CR3R4 where R3 and R4 = H, Me, Et, or where R3R4 = atoms to form a 3- through 7-membered cycloalkyl ring]. For example, oximation of (2R-cis)-3-[[[2-(formylamino)-4-thiazolyl]oxoacetyl]amino]-2-methyl-4-oxo-1-azetidinylsulfonic acid Bu4N+ salt (preparation given) with 3-[[[aminoxy]methyl]-6,7-dihydroxy-2-quinolinecarboxylic acid-HCl in aqueous solution at pH 2.0, and deformation of the product by HCl in aqueous THF at pH 0.8-1.0 over 20 h, gave I (R1 = Me, R2 = M = H, X = CH2). Preps. of approx. 7 I and numerous intermediates are described.

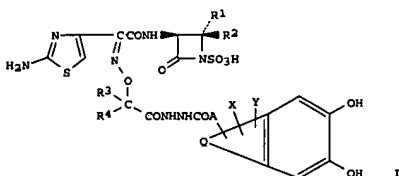
MSTR 1



G1 = 19

L6 ANSWER 20 OF 26 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 122:55819 MARPAT
 TITLE: Heterocyclic hydrazide derivatives of monocyclic β -lactam antibiotics
 INVENTOR(S): Ermann, Peter H.; Straub, Henner
 PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA
 SOURCE: U.S., 20 pp. Cont. of U.S. Ser. No. 410,217, abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5318963	A	19940607	US 1990-620170	19901130
CA 2024282	AA	19910322	CA 1990-2024282	19900830
JP 03120276	A2	19910522	JP 1990-254057	19900921
PRIORITY APPLN. INFO.:			US 1989-410217	19890921



AB Antibacterial (no data) compds. (I) and pharmaceutically acceptable salts thereof, wherein: A is a bond or alkylene; Q completes a 5- or 6-membered saturated or unsatd. (including aromatic) heterocyclic ring having one or two heteroatoms in the ring selected from nitrogen, NRS, tpbond, N+R6, sulfur or oxygen; X is attached to an available carbon atom in the heterocyclic ring and is hydrogen, amino, hydroxyl, halogen, carboxamide, nitrile, or carbonyl, except that Y is not carboxyl when the bicyclic ring completed by Q is 2-quinolyl, 3-quinolyl, or quinoxalyl; and the remaining symbols are as defined in the specification.

MSTR 1



G2 = 79

G30-C(O)-G15

G14 = Ph (opt. substd.)

G15 = 39



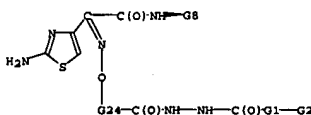
G30 = G31

G31 = (0-2) CH2

G32 = G33

G33 = (0-4) CH2

Patent location: claim 1



G1 = bond

G8 = 41



G9 = 61

G13-G16

G1 = 62

G13 = 67-42 68-62

G14-C(O)

G1 = 68

G14 = (0-3) CH2

G16 = 77



G17 = Ph (opt. substd.)

Derivative: and pharmaceutically acceptable salts
 Patent location: claim 1
 Note: substitution is restricted

L6 ANSWER 21 OF 26 MARPAT COPYRIGHT 2006 ACS on STN
 119:210716 MARPAT
 TITLE: Prodrugs activated by targeted catalytic proteins
 Kanten, John Henry; Von Borstel, Reid; Casadei, Jan
 M.; Kamireddy, Balreddy; Martin, Mark T.; Massey,
 Richard J.; Napper, Andrew D.; Simpson, David M.;
 Smith, Rodger O.; et al.
 Igen, Inc., USA
 PCT Int. Appl., 371 pp.
 CODEM: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 19
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9302703	A1	19930218	WO 1992-US6530	19920804
W: AU, CA, JP, KR				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
AU 9224408	A1	19930302	AU 1992-24408	19920804
AU 673335	B2	19961107		
EP 746336	A1	19961211	EP 1992-917526	19920804
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE				
CN 1070409	A	19930331	CN 1992-110882	19920805
CN 1044911	B	19990901		
ZA 9205882	A	19940106	2A 1992-5882	19920805
CN 1217335	A	19990526	CN 1996-123479	19961230
US 2002045231	A1	20020418	US 2001-817502	20010326
US 2003096765	A1	20030522	US 2002-205115	20020725
US 2005123533	A1	20050609	US 2003-699966	20031103

PRIORITY APPLN. INFO.:

US 1991-740501 19910805
 US 1991-773042 19911010
 US 1992-919851 19920731
 US 1988-190271 19880504
 US 1991-761868 19910903
 WO 1992-US6530 19920804
 US 1993-52490 19930423
 US 1994-325540 19941018
 US 1999-241876 19990202
 US 2002-205115 20020725

AB Disclosed are prodrugs activated by catalytic proteins, e.g. enzymes and catalytic antibodies, and haptens of the prodrugs to elicit catalytic antibodies to activate the prodrugs. The prodrugs are useful as cytotoxic

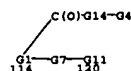
chemotherapeutic agents. Methods are also provided for converting a variety of cancer chemotherapy drugs to substantially nontoxic prodrugs which are stable to endogenous enzymes but which can be activated in or near tumors by prior administration of tumor-selective agents, e.g. tumor-associated enzymes or antibodies conjugated or connected to a protein

catalyst, which convert the prodrug to active cytotoxic agents. Prodrug of 5'-O-(2,6-dimethoxybenzoyl)-5-fluorouridine (I) was prepared by reaction

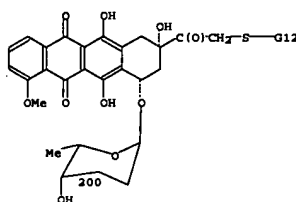
of 2,6-dimethoxybenzoyl chloride and 2',3'-O-isopropylidene-5-fluorouridine in pyridine followed by acid hydrolysis using 50% HCO₂H at 65°.

L6 ANSWER 21 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
 The toxicity of I in mice, as measured by effect on segmented neutrophil counts, was substantially >50 times less toxic than 5-fluorouridine. The prepn. of the transition state analog, the phosphonate ester of 5'-O-(2,6-dimethoxybenzoyl)-5-fluorouridine, is also described.

MSTR 24A



G1 = carbon chain <0 or more double bonds,
 no triple bonds> (opt. substd. by G25)
 G4 = 200



G6 = SO₃H
 G7 = G9
 G9 = (0-4) CH₂
 G11 = 124



G14 = NH
 G19 = 245



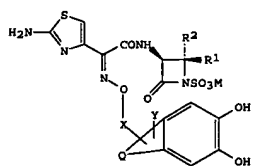
G20 = N

L6 ANSWER 21 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
 G23 = CHO
 Patent location: claim 52

L6 ANSWER 22 OF 26 MARPAT COPYRIGHT 2006 ACS on STN
 119:49139 MARPAT
 TITLE: Preparation of heteroarylsulfonolactams as antibiotics
 INVENTOR(S): Straub, Henner; Drossard, Jakob Matthias
 PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA
 SOURCE: Eur. Pat. Appl., 29 pp.
 CODEM: EPXMDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 531976	A1	19930317	EP 1992-115431	19920909
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5250691	A	19931005	US 1991-756939	19910909
CA 2077493	AA	19930310	CA 1992-2077493	19920903
JP 05213946	A2	19930824	JP 1992-239419	19920908

PRIORITY APPLN. INFO.: US 1991-756939 19910909
 GI



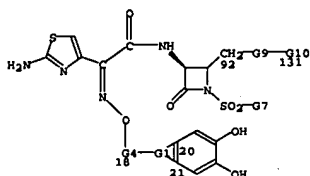
AB Title compds. I (R₁, R₂ = H, alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, (substituted) Ph, etc., or 1 of R₁, R₂ = H, the other N₃, halomethyl, alkoxy carbonyl, phenylethyl, phenylethenyl, phenylethynyl, CO₂H, azidomethyl, aminomethyl, hydroxymethyl, carboxymethyl, alkoxy carbonylmethyl, alkanoylaminoethyl, etc.; X = (CH₂)_n, CR₃R₄, n = 1-4; R₃, R₄ = H, Me, Et; R₃R₄C = C₃-7 cycloalkyl; Y = H, amino, OH, halo, carboxamido, carboxyl; Q = (oxo-substituted) 6-membered aromatic or nonarom.

ring except quinoxaline; M = H, pharmaceutically acceptable cation) were prepared as antibiotics (no data). Thus, 3-[(aminooxy)methyl]-6,7-dihydroxy-4-oxo-1(4H)-quinolineacetic acid (preparation from 1,2-dihydroxybenzene in many steps given) and (2R-cis)-3-[[[(2-amino-4-thiazolyl)oxoacetyl]amino]-2-methyl-4-oxo-1-azetidine]sulfonic acid (preparation from (2R-cis)-3-amino-2-methyl-4-oxo-1-azetidine]sulfonic acid and 2-formylaminothiazol-4-ylglyoxylic acid given) were coupled in DMF brought to pH 2 with 1N HCl over 48 h to give (2R-[2a,3a(2)])-3-[[[(1)-(2-amino-4-thiazolyl)-2-[(2-methyl-4-oxo-1-sulfo-3-azetidinyl)amino]-2-

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L6 ANSWER 23 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
oxoethylidene]amino]oxy)methyl]-6,7-dihydroxy-4-oxo-1(4H)-quinoline
acetic
acid, disodium salt.

MPTR 1C



G7 = OH
G9 = C(O)
G10 = 116



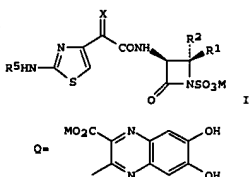
G11 = Ph (opt. substd. by 1 or more G12)
Derivative: or salts
Patent location: claim 1

L6 ANSWER 23 OF 26 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 117:90045 MARPAT
TITLE: Preparation of 3-[(2-aminothiazolyl-2-
[(quinoxalinyloxy)imino]acetamido)-4-oxo-1-
azetidinesulfonates as antibacterial agents
Koster, William H.; Sundeen, Joseph E.; Straub,
Henner; Ermann, Peter Hans; Treuner, Uwe D.
PATENT ASSIGNER(S): E. R. Equibb and Sons, Inc., USA
SOURCE: Eur. Pat. Appl., 50 pp.
CODEN: EPXKDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 484881	A2	19920513	EP 1991-118838	19911105
EP 484881	A3	19921014		
EP 484881	B1	19990407		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 9108014	A	19920729	ZA 1991-8014	19911007
CA 2053359	AA	19920506	CA 1991-2053359	19911011
CA 2053359	C	20040113		
IN 176680	A	19960824	IN 1991-DE995	19911015
IL 99829	A1	19970110	IL 1991-99829	19911023
IL 118368	A1	19970930	IL 1996-118368	19911023
AU 9186941	A1	19920507	AU 1991-86941	19911101
AU 648835	B2	19940505		
FI 9105194	A	19920506	FI 1991-5194	19911104
NO 9104320	A	19920506	NO 1991-4320	19911104
HU 59921	A2	19920728	HU 1991-3462	19911104
HU 211402	B	19951128		
KR 210631	B1	19990715	KR 1991-19523	19911104
CN 1061414	A	19920527	CN 1991-108478	19911105
CN 1031825	B	19960522		
JP 04283579	A2	19921008	JP 1991-288600	19911105
JP 3157565	B2	20010416		
PL 167312	B1	19950831	PL 1991-292287	19911105
AT 178604	E	19990415	AT 1991-118838	19911105
ES 2129397	T3	19990616	ES 1991-118838	19911105
JP 2000239246	A2	20000905	JP 2000-75432	19911105
JP 3299734	B2	20020708		
SK 282124	B6	20011106	SK 1991-3345	19911105
CZ 289671	B6	20020313	CZ 1991-3345	19911105
AU 9468892	A1	19941006	AU 1994-68892	19940803
AU 659780	B2	19950525		
CN 1113228	A	19951213	CN 1995-104831	19950428
CN 1067053	B	20010613		
CN 1251836	A	20000503		
PRIORITY APPLN. INFO.:				
			CN 1999-111789	19990810
			US 1990-608945	19901105
			IL 1991-99829	19911023
			JP 1991-288600	19911105

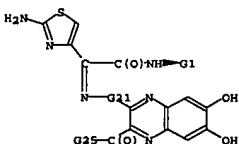
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L6 ANSWER 23 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

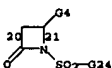


AB Title compds. [I; M = H, tetraalkylammonium, Na, K, etc.; R1, R2 = H, (cyclo)alkyl, alkenyl, heterocyclyl, (substituted) Ph, etc.; R5 = H; X = NO2R; R = quinoxalinyloxy group; Z = (CH2)0-4, CR3R4; R3, R4 = H, Me, Et; R3R4 = (CH2)2-6] were prepared as antibacterial agents (no data). Thus, MeCOCOCOCOCMe3 (preparation given) was cyclocondensed with 5,6-diamino-2,2'-dimethyl-1,3-benzodioxole and the brominated product condensed with (Me3CO2C)2NOH (preparation given) to give, after deprotection, QCH2ONH2 (M = CMe3) which was condensed with I (M = NBu4, R1 = Me, R2 = H, R5 = CHO, X = O) to give, after deprotection, I (M = R2 = R5 = H, R1 = Me, X = NOCH2O) in which M = H).

MPTR 1A



G1 = 20



G4 = 61

L6 ANSWER 23 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G15-G18
G15 = 67-21 68-62
G16-G18
G16 = (1-3) CH2
G18 = 77



G19 = Ph (opt. substd.)
G21 = G22
G22 = (0-4) CH2
G24 = OH
Patent location: claim 1

L6 ANSWER 24 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 116:59075 MARPAT
 TITLE: Monobactam hydrazides containing catechol sulfonic acid groups
 INVENTOR(S): Sundeen, Joseph E.; Zahler, Robert; Jendrzewski, Stefan
 PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA
 SOURCE: U.S., 15 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5030724	A	19910709	US 1990-468412	19900122
CA 2032817	AA	19910723	CA 1990-2032817	19901220
EP 438752	A1	19910731	EP 1990-125064	19901221
JP 06340662	A2	19941213	JP 1991-22860	19910122
US 5077432	A	19911231	US 1991-651871	19910207
			US 1990-468412	19900122

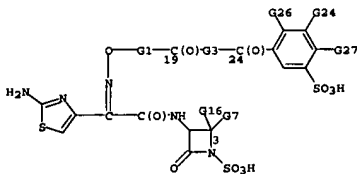
PRIORITY APPLN. INFO.:

G1 For diagram(s), see printed CA Issue.

AB Title compds. [I; R1, R2, R3, R4 = H, alkyl; R1R2 = cycloalkyl; R3R4 = (CH2)n; n = 3-5; R5, R6 = H, alkyl, alkenyl, alkynyl, cycloalkyl, (substituted) Ph, heterocyclyl; N3, halomethyl, alkoxy, carbonyl, cyano, PhCH2CH, CO2H, etc.; R7 = H, (substituted) alkanoyl, PhCO, heteroarylcarbonyl, phenylalkenyl, heteroarylalkenyl; Y1, Y2 = H, OR7; Y1 = Y2), having good activity against gram-neg. bacteria (no data), were prepared Thus, [2S-(2a,3BZ)]-2-[[[1-(2-amino-4-thiazolyl)-2-

[(2-methyl-4-oxo-1-sulfo-3-azetidinyl)amino]-2-oxoethylidene]amino]oxyl]-2-methylpropanoic acid in DMF at 0° was treated with hydroxybenzotriazole, Bu3N, dimethylaminopyridine, and DCC; after 1 h, 3,4-dihydroxy-5-sulfobenzoic acid hydrazide (preparation given) and Bu3N in DMF were added and the mixture was stirred at 20° for 15 h to give, after treatment with C4F9SO3K, title compd II.

NOTE 1A



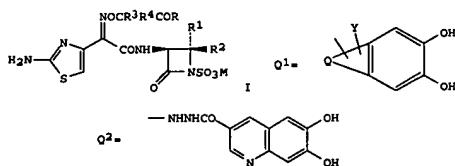
L6 ANSWER 25 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 115:158831 MARPAT
 TITLE: Preparation of astreonomam 2-(quinolinylcarbonyl)hydrazides and analogs as antibiotics
 INVENTOR(S): Ermann, Peter Hans; Straub, Henner
 PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA
 SOURCE: Eur. Pat. Appl., 40 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 420069	A2	19910403	EP 1990-118218	19900921
EP 420069	A3	19910605		
CA 2024282	AA	19910322	CA 1990-2024282	19900830
JP 03120276	A2	19910522	JP 1990-254057	19900921
			US 1989-410217	19890921

PRIORITY APPLN. INFO.:

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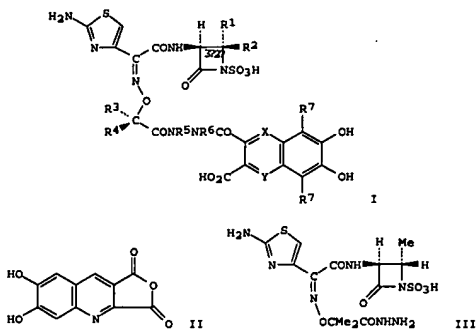


L6 ANSWER 26 OF 26 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 113:40326 MARPAT
 TITLE: Heteroarylhydrazide derivatives of monocyclic
 β -lactam antibiotics
 INVENTOR(S): Sundeen, Joseph Edward; Ermann, Peter Hans
 PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA
 SOURCE: Eur. Pat. Appl., 29 pp.
 CODEN: EPKXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 342423	A3	19891123	EP 1989-107843	19890429
EP 342423	A3	19910417		
R1: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 4904775	A	19900227	US 1988-194355	19880516
ZA 8903483	A	19900131	ZA 1989-3483	19890510
DK 8902348	A	19891117	DK 1989-2348	19890512
AU 8934847	A1	19891116	AU 1989-34847	19890516
AU 618598	B2	19920102		
JP 02017189	A2	19900122	JP 1989-122705	19890516
US 5037983	A	19910806	US 1989-444237	19891201
AU 9185768	A1	19911205	AU 1991-85768	19911011
AU 640531	B2	19930826		
PRIORITY APPLN. INFO.:			US 1988-194355	19880516

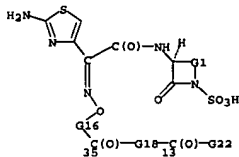
GI

L6 ANSWER 26 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



AB The title compds. (I; R1, R2 = H, alkyl, alkenyl, alkynyl, cycloalkyl, etc.; R3, R4 = H, alkyl, R3R4 = alkylene; R5, R6 = H, alkyl; or R5R6 = C2-5 alkylene; R7 = H, F, Cl, Br; X, Y = N, CH), useful as bactericides against gram-pos. and gram-neg. organisms, are prepared. A solution of 485 mg anhydride II in DMP was treated with a solution of 1.42 g hydrazide III (preparation given) in DMP at 25° and enough Et3N to raise pH to 7.5 to give 3.05 mg (2S,2'a,3'β)-(Z)-I (R1 = R3 = R4 = Me, R2 = R5 = R6 = R7 = H, X = N, Y = CH), and 135 mg isomer I (X = CH, Y = N). Also prepared were 7 addnl. I. I are effective in combating bacterial infection in mammals at 14-100 mg/kg-day.

MFSTR 1A



L6 ANSWER 26 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G1 = 39

H3C—G3

G3 = 51

H2C—G5

G5 = 53

G6—G4—G9

G6 = G7

G7 = (0-2) CH2

G9 = 61

G10—G11

G10 = NH

G11 = Ph (opt. substd.)

Patent location: claim 1

10/823,372

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FILE 'REGISTRY' ENTERED AT 10:48:50 ON 30 MAR 2006

L1 STRUCTURE UPLOADED

L2 7 S L1 SAM

L3 106 S L1 FULL

FILE 'CA' ENTERED AT 10:49:14 ON 30 MAR 2006

L4 3 S L3

FILE 'MARPAT' ENTERED AT 10:49:32 ON 30 MAR 2006

L5 28 S L1 FULL

L6 26 S L5/COM

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